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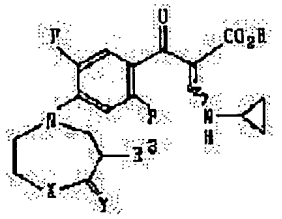
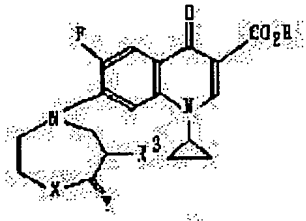
(71)Applicant : SS PHARMACEUT CO LTD
(72)Inventor : TAKEDA SUNAO
KONNO FUJIKO
KAIHO TERUMITSU
SHIBATA AKIHIRO
MATSUDA HIDEAKI
KURAISHI TADAYUKI

(54) PRODUCTION OF QUINOLONE CARBOXYLIC ACID DERIVATIVE AND IT INTERMEDIATE

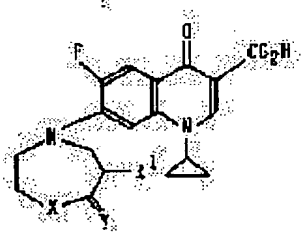
(57)Abstract:
PURPOSE: To obtain the subject compound useful as an antimicrobial agent in high yield and high purity by cyclizing an acrylic acid derivative in the presence of a basic catalyst and then hydrolyzing the cyclized compound.
CONSTITUTION: A compound of formula I [R is lower alkyl, aralkyl or ester residue; R3 is H or N-R4R5; R4 and R5 are H, lower alkyl or protecting group of amino; X is methylene, O, S or SO2, NR2, etc.; R2 is H or lower alkyl; Y is O or H2] is cyclized in the presence of a basic catalyst (e.g. NaOH) in a solvent (e.g. acetonitrile) at 0° C to boiling point of the solvent to provide a quinolone carboxylic acid ester of formula II. Then, the compound of formula II is hydrolyzed and as necessary, a protecting group of the amino group of R3 is removed to provide the objective compound of formula III (R1 is H or amino which may be substituted with alkyl group).



I



II



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LEGAL STATUS

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